## WHAT IS CLAIMED IS

1. A composition for modulation of LXR function in a cell, said composition comprising a pharmaceutically acceptable excipient and a compound having the formula:

$$A \stackrel{O}{\underset{R^2}{\bigvee}} R^1$$

or a pharmaceutically acceptable salt thereof, wherein

A is a member selected from the group consisting of (C<sub>5</sub>-C<sub>18</sub>)alkyl and (C<sub>5</sub>-C<sub>18</sub>)heteroalkyl;

R<sup>1</sup> is a member selected from the group consisting of (C<sub>3</sub>-C<sub>12</sub>)alkyl, aryl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>12</sub>)heteroalkyl, heteroaryl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl and heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; and

 $R^2$  is a member selected from the group consisting of aryl, heteroaryl, aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, heteroaryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl and heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl;

wherein  $R^1$  and  $R^2$  are optionally combined together with the nitrogen atom to which each is attached to form a 5-, 6-, 7- or 8-membered ring, and said compound binds to the ligand binding domain of LXR $\alpha$  with an affinity of at least 1 micromolar.

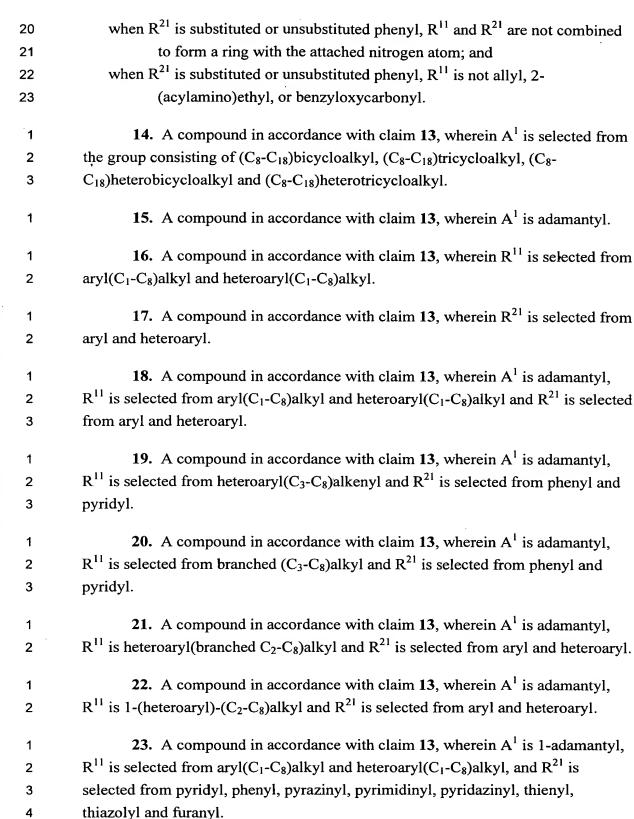
- 2. A composition in accordance with claim 1, wherein A is selected from the group consisting of  $(C_5-C_{18})$  cycloalkyl and  $(C_5-C_{18})$  heterocycloalkyl.
- 3. A composition in accordance with claim 1, wherein A is selected from the group consisting of  $(C_8-C_{18})$ bicycloalkyl,  $(C_8-C_{18})$ tricycloalkyl,  $(C_8-C_{18})$ heterobicycloalkyl and  $(C_8-C_{18})$ heterotricycloalkyl.
  - 4. A composition in accordance with claim 1, wherein A is adamantyl.
- 5. A composition in accordance with claim 3, wherein  $R^1$  is selected from  $aryl(C_1-C_8)alkyl$  and heteroaryl $(C_1-C_8)alkyl$ .
- 6. A composition in accordance with claim 3, wherein  $R^2$  is selected from aryl and heteroaryl.
- 7. A composition in accordance with claim 1, wherein A is adamantyl,  $R^1$  is selected from aryl( $C_1$ - $C_8$ )alkyl and heteroaryl( $C_1$ - $C_8$ )alkyl and  $R^2$  is selected from aryl and heteroaryl.

18 19

group;

8. A composition in accordance with claim 1, wherein A is adamantyl, R<sup>1</sup> 1 is selected from heteroaryl(C<sub>3</sub>-C<sub>8</sub>)alkenyl and R<sup>2</sup> is selected from phenyl and 2 pyridyl. 3 9. A composition in accordance with claim 1, wherein A is adamantyl, R<sup>1</sup> 1 is selected from branched (C<sub>3</sub>-C<sub>8</sub>)alkyl and R<sup>2</sup> is selected from phenyl and pyridyl. 2 10. A composition in accordance with claim 1, wherein A is adamantyl, R<sup>1</sup> 1 is heteroaryl(branched C<sub>2</sub>-C<sub>8</sub>)alkyl and R<sup>2</sup> is selected from aryl and heteroaryl. 2 11. A composition in accordance with claim 1, wherein A is adamantyl, R<sup>1</sup> 1 is 1-(heteroaryl)-(C<sub>2</sub>-C<sub>8</sub>)alkyl and R<sup>2</sup> is selected from aryl and heteroaryl. 2 12. A composition in accordance with claim 1, wherein A is 1-adamantyl. 1  $R^1$  is selected from aryl( $C_1$ - $C_8$ )alkyl and heteroaryl( $C_1$ - $C_8$ )alkyl, and  $R^2$  is selected 2 from pyridyl, phenyl, pyrazinyl, pyrimidinyl, pyridazinyl, thienyl, thiazolyl and 3 4 furanyl. 13. A compound having the formula: 1 A1 N R1 2 or a pharmaceutically acceptable salt thereof, wherein A<sup>1</sup> is a member selected from the group consisting of (C<sub>5</sub>-4 C<sub>12</sub>)monocycloalkyl, (C<sub>5</sub>-C<sub>12</sub>)heteromonocycloalkyl, (C<sub>8</sub>-5 C<sub>18</sub>)bicycloalkyl, (C<sub>8</sub>-C<sub>18</sub>)tricycloalkyl, (C<sub>8</sub>-C<sub>18</sub>)heterobicycloalkyl and 6 (C<sub>8</sub>-C<sub>18</sub>)heterotricycloalkyl; 7  $R^{11}$  is a member selected from the group consisting of  $(C_3-C_{12})$  alkyl, aryl, 8 aryl(C<sub>1</sub>-C<sub>8</sub>)alkyl, aryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl, (C<sub>3</sub>-C<sub>12</sub>)heteroalkyl, 9 heteroaryl, heteroaryl( $C_1$ - $C_8$ )alkyl and heteroaryl( $C_2$ - $C_8$ )heteroalkyl; 10 11 R<sup>21</sup> is a member selected from the group consisting of aryl, heteroaryl, 12  $aryl(C_1-C_8)alkyl$ , heteroaryl( $C_1-C_8$ )alkyl,  $aryl(C_2-C_8)$ heteroalkyl and 13 heteroaryl(C<sub>2</sub>-C<sub>8</sub>)heteroalkyl; 14 and wherein R<sup>11</sup> and R<sup>21</sup> can be combined with the nitrogen atom to which each is 15 attached to form a five- to eight-membered ring, with the following provisos: 16 when R<sup>21</sup> is 2-pyridyl, R<sup>11</sup> is other than a substituted or unsubstituted

2-(1-piperazinyl)ethyl or (tetrahydro-2H-pyrido[3,4-b]indol-2-yl)ethyl



24. A method for modulation of LXR in a cell, said method comprising administering to said cell a composition in accordance with claim 1.

25. A method for the treatment of LXR-responsive diseases, comprising administering to a subject in need of said treatment, a compound having the formula:

$$A \stackrel{O}{\underset{R^2}{\bigvee}} R^1$$

or a pharmaceutically acceptable salt thereof, wherein

A is a member selected from the group consisting of (C<sub>5</sub>-C<sub>18</sub>)alkyl and (C<sub>5</sub>-C<sub>18</sub>)heteroalkyl;

- $R^1$  is a member selected from the group consisting of  $(C_3-C_{12})$ alkyl, aryl, aryl $(C_1-C_8)$ alkyl, aryl $(C_2-C_8)$ heteroalkyl,  $(C_3-C_{12})$ heteroalkyl, heteroaryl $(C_1-C_8)$ alkyl and heteroaryl $(C_2-C_8)$ heteroalkyl; and
- $R^2$  is a member selected from the group consisting of aryl, heteroaryl, aryl( $C_1$ - $C_8$ )alkyl, heteroaryl( $C_1$ - $C_8$ )alkyl, aryl( $C_2$ - $C_8$ )heteroalkyl and heteroaryl( $C_2$ - $C_8$ )heteroalkyl;

wherein R<sup>1</sup> and R<sup>2</sup> are optionally combined together with the nitrogen atom to which each is attached to form a 5-, 6-, 7- or 8-membered ring, and said compound binds to the ligand binding domain of LXRa with an affinity of at least 1 micromolar.

- 26. A method in accordance with claim 25, wherein said disease is selected from the group consisting of hypercholesterolemia and atherosclerosis or other disorders associated with bile acid and cholesterol metabolism.
- 27. A method in accordance with claim 25, wherein said compound is administered in conjunction with an additional hypercholesterolemic agent selected from the group consisting of bile acid sequestrants, nicotinic acid, fibric acid derivatives and HMG CoA reductase inhibitors.